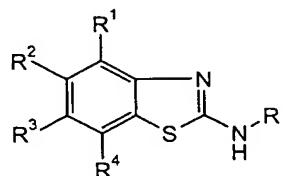


Abstract

The present invention relates to a process for preparation of amino substituted benzothiazole derivatives of formula I



wherein

R¹, R² and R³ are independently from each other hydrogen, lower alkyl, lower alkoxy or halogen;

R⁴ is hydrogen, lower alkyl, lower alkyloxy, halogen, or is a five or six membered non aromatic heterocycl group, unsubstituted or substituted by lower alkyl or an oxo-group, or is -NR⁵R⁶, wherein R⁵ and R⁶ are independently from each other hydrogen, lower alkyl, -C(O)-lower alkyl, -(CH₂)_nO-lower alkyl or benzyl, opionally substituted by lower alkyl, or is an five or six membered heteroaryl group;

R¹ and R² or R² and R³ may form together with the corresponding carbon atoms a ring containing -O-CH₂-O- or -CH=CH-CH=CH-;

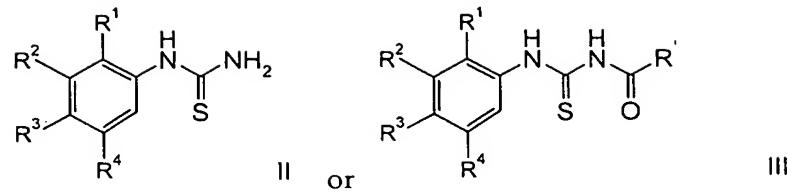
R is hydrogen or -C(O)R';

R' is a five or six membered non aromatic heterocycl group, five or six membered heteroaryl group or is aryl, which rings may be substituted by the groups, selected from lower alkyl, halogen-lower alkyl, lower alkoxy, cyano, nitro, -C(O)H, -C(O)OH or by pyrrolidin-1-yl-methyl;

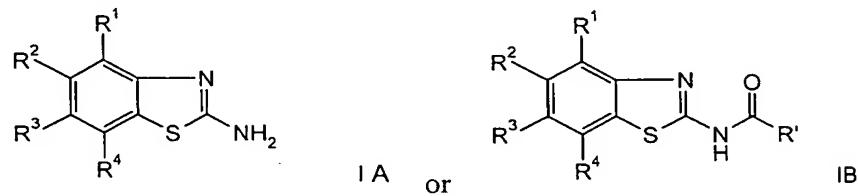
n is 1 to 4;

or a pharmaceutically acceptable salt thereof,

wherein the cyclization is carried out by the treatment of a compound of formula



with sulphoxide/HBr/solvent to give the desired products of formula I for R is hydrogen
(formula IA) or for R is -C(O)R' (formula IB)



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